## (19) World Intellectual Property Organization International Bureau





## (43) International Publication Date 31 July 2003 (31.07.2003)

### **PCT**

# (10) International Publication Number WO 03/062224 A1

(51) International Patent Classification7: C07D 401/12, 211/54, 207/12, 223/06, A61K 31/4465

(21) International Application Number: PCT/US02/21297

(22) International Filing Date: 29 July 2002 (29.07.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data: 60/350,150 17 January:

17 January 2002 (17.01.2002) US

(71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): ASTLES, Peter, Charles [GB/GB]; ELI LILLY AND COMPANY LIMITED, Kingsclere Road, Bassingstoke, Hampshire RG21 2XA (GB); BAKER, Stephen, Richard [GB/GB]; ELI LILLY AND COMPANY LIMITED, Kingsclere Road, Basingstoke, Hampshire RG21 2XA (GB); BONNEFOUS, Celine [IR/US]; 3965 Nobel Drive #92, San Diego, CA 92122 (US); YERNIER, Jean, Michel [IR/US]; 12061-3 World Trade Drive, San Diego, CA 92128 (US). KEENAN, Martine [GB/GB]; ELI LILLY AND COMPANY LIMITED, Kingsclere Road, Basingstoke, Hampshire RG21 2XA (GB). SANDERSON, Adam, Jan [GB/GB]; ELI LILLY AND COMPANY LIMITED, Kingsclere Road, Basingstoke, Himpshire RG21 2XA (GB).
- (74) Agents: SALES, James, J. et al.; ELI LILLY AND COM-PANY, P. O. Box 6288, Indianapolis, IN 46206-6288 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC. LK. LR. LS, LT, LU, LV. MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK (utility model), SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(84) Designated States fregional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW). Eurusian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Declaration under Rule 4.17:

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II., IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

### Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: AZA-CYCLIC COMPOUNDS AS MODULATORS OF ACETYLCHOLINE RECEPTORS

(57) Abstract: Compounds comprising an aza-cyclic portion and an aromatic portion linked via a sulphur atom are disclosed. The compounds disclosed are selective modulators of beta 4 subtype nicotinic acetylcholine receptors and are useful for the treatment of dysfunctions of the central and autonomic nervous systems.



3/062224 A1